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NEWS 4 May 12 Polymer links for the POLYLINK command completed in REGISTRY  
NEWS 5 May 27 New UPM (Update Code Maximum) field for more efficient patent SDIs in Caplus  
NEWS 6 May 27 Caplus super roles and document types searchable in REGISTRY  
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NEWS 9 Jul 12 BEILSTEIN enhanced with new display and select options, resulting in a closer connection to BABS  
NEWS 10 Jul 30 BEILSTEIN on STN workshop to be held August 24 in conjunction with the 228th ACS National Meeting  
NEWS 11 AUG 02 IFIPAT/IFIUDB/IFICDB reloaded with new search and display fields  
NEWS 12 AUG 02 Caplus and CA patent records enhanced with European and Japan Patent Office Classifications  
NEWS 13 AUG 02 STN User Update to be held August 22 in conjunction with the 228th ACS National Meeting  
NEWS 14 AUG 02 The Analysis Edition of STN Express with Discover! (Version 7.01 for Windows) now available  
NEWS 15 AUG 04 Pricing for the Save Answers for SciFinder Wizard within STN Express with Discover! will change September 1, 2004

NEWS EXPRESS JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004

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FILE 'HOME' ENTERED AT 12:03:06 ON 24 AUG 2004

=> FIL REGISTRY

COST IN U.S. DOLLARS

SINCE FILE

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ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 12:03:13 ON 24 AUG 2004

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 23 AUG 2004 HIGHEST RN 731771-88-3

DICTIONARY FILE UPDATES: 23 AUG 2004 HIGHEST RN 731771-88-3

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

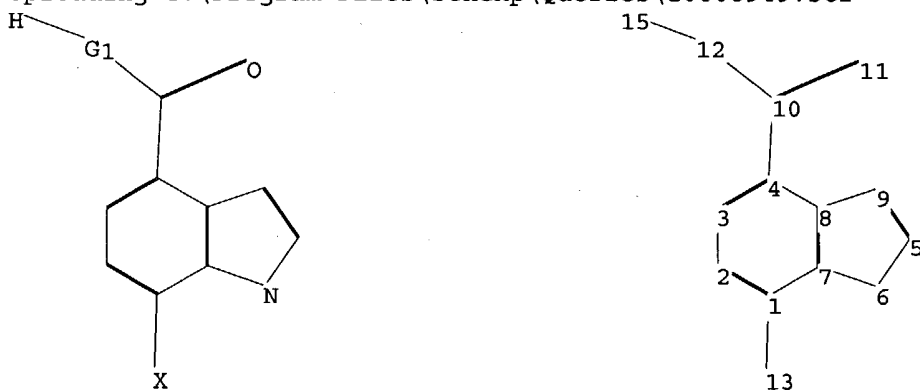
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10608949.str



chain nodes :

10 11 12 13 15

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

1-13 4-10 10-11 10-12 12-15

ring bonds :

1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9

exact/norm bonds :

5-6 6-7 10-11 10-12 12-15

exact bonds :

1-13 4-10 5-9 8-9

10608949.trn

08/24/2004

normalized bonds :

1-2 1-7 2-3 3-4 4-8 7-8

isolated ring systems :

containing 1 :

G1:O,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS

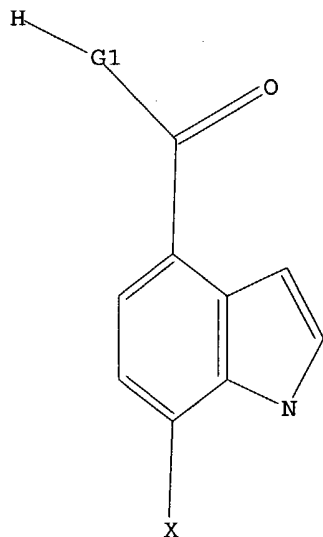
11:CLASS 12:CLASS 13:CLASS 15:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 O,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 12:03:31 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 456 TO ITERATE

100.0% PROCESSED 456 ITERATIONS

3 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 7839 TO 10401

PROJECTED ANSWERS: 3 TO 163

L2 3 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 12:03:37 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 9399 TO ITERATE

100.0% PROCESSED 9399 ITERATIONS  
SEARCH TIME: 00.00.01

123 ANSWERS

L3 123 SEA SSS FUL L1

=> FIL CAPLUS

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

155.84

156.05

FILE 'CAPLUS' ENTERED AT 12:04:36 ON 24 AUG 2004  
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FILE COVERS 1907 - 24 Aug 2004 VOL 141 ISS 9  
FILE LAST UPDATED: 23 Aug 2004 (20040823/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4 9 L3

=> s l4 and py<=2002

22507901 PY<=2002

L5 5 L4 AND PY<=2002

=> d l4 ibib abs hitstr tot

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:154029 CAPLUS

DOCUMENT NUMBER: 140:423545

TITLE: Synthesis of the 2,3,4-trisubstituted indole fragments of nosiheptide and glycothiohexide

AUTHOR(S): Bentley, David J.; Fairhurst, John; Gallagher, Peter T.; Manteuffel, Astrid K.; Moody, Christopher J.; Pinder, Joanne L.

CORPORATE SOURCE: Department of Chemistry, University of Exeter, Exeter, EX4 4QD, UK

SOURCE: Organic & Biomolecular Chemistry (2004), 2(5), 701-708

CODEN: OBCRAK; ISSN: 1477-0520

PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal  
LANGUAGE: English

AB Two routes to the protected 4-hydroxymethyl-3-methylindole-2-carboxylate fragment of the thiopeptide antibiotic nosiheptide are described starting from Me 4-methylindole-2-carboxylate, itself prepared in two steps, or from 3-amino-4-chlorobenzoic acid. The first route can be adapted to the synthesis of a fragment of the related antibiotic glycothiohexide- $\alpha$ , the 3,4-bis(hydroxymethyl)indole-2-carboxylate.

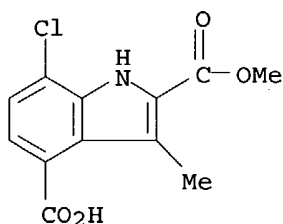
IT 691362-87-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of the 2,3,4-trisubstituted indole fragments of nosiheptide and glycothiohexide)

RN 691362-87-5 CAPLUS

CN 1H-Indole-2,4-dicarboxylic acid, 7-chloro-3-methyl-, 2-methyl ester (9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:142896 CAPLUS

DOCUMENT NUMBER: 140:199201

TITLE: Method of preparation of 4,7-disubstituted indoles

INVENTOR(S): Alper, Phil B.; Nguyen, Khanhlinh T.

PATENT ASSIGNEE(S): Trm Llc, Bermuda

SOURCE: PCT-Int Appl., 39 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014294	A2	20040219	WO 2003-US20395	20030627
WO 2004014294	A3	20040701		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004110944	A1	20040610	US 2003-608949	20030626

08/24/2004

PRIORITY APPLN. INFO.:

US 2002-392804P

P 20020628

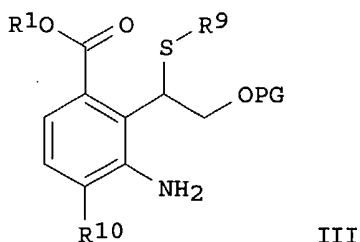
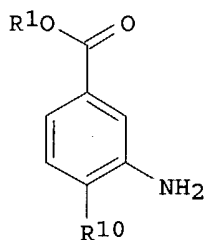
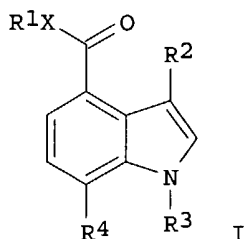
US 2003-608949

A 20030626

OTHER SOURCE(S):

CASREACT 140:199201; MARPAT 140:199201

GI



AB The invention provides a synthetic method for preparing biol. important title compds. I [wherein R1 = H or (un)substituted (cyclo)alkyl or (hetero)aryl; R2 = H, halo, COR5, or (un)substituted alkylamino; R3 = H or (un)substituted alkyl; R4 = halo, SO1-3R6, or (un)substituted (cyclo)alkyl, alkenyl, or (hetero)aryl; R5 = (un)substituted (cyclo)alkyl or (hetero)aryl; R6 = (un)substituted (cyclo)alkyl or (hetero)aryl; X = O or NR; R = H or (un)substituted alkyl; or R and R2 together with the atoms to which they are attached join to form an (un)substituted 5-, 6-, or 7-membered heterocyclic ring] by substitution of leaving groups at the 4- and 7-positions of the indole ring. The method comprises: (1) reaction of II [wherein R10 = halo or SO1-3R6; R1, R10, and X are defined above] with a sulfide R9S(CH2)2OPG [wherein R9 = (un)substituted (cyclo)alkyl or (hetero)aryl; PG = protecting group, such as pivaloyl] to give III, (2) cleavage of the protecting group and cyclization to afford the 3,4-dihydro-1H-2-benzopyran-1-one, (3) protection of the primary amine, (4) elimination of the sulfide functional group and subsequent alcoholysis to generate the pharmacophore scaffold with leaving groups at the 4- and 7-positions of the indole ring, and (5) Pd-catalyzed coupling using an aryl boronic acid to give I. For example, reaction of Me 3-amino-4-chlorobenzoate with 2-methylthioethyl pivalate (SO2Cl2, toluene, -78°; collidine; TEA, >70°; NaOMe, MeOH; trifluoroacetic anhydride, pyridine) afforded 6-chloro-3,4-dihydro-4-methylthio-5-trifluoroacetyl-amino-1H-2-benzopyran-1-one (32.2%). Elimination of the sulfide using H2O2 in AcOH provided the isocoumarin (73.1%), which was treated with H2SO4 in MeOH to give Me 7-chloro-1H-indole-4-carboxylate (98%). Functionalization using phenylboronic acid (Pd2dba3, P(t-Bu)3, tributylstannyl reagent, dioxane) gave 7-phenyl-1H-indole-4-carboxylic acid.

IT 503816-69-1P, 4-Carbomethoxy-7-chloroindole

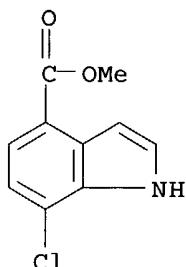
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT

(Reactant or reagent)

(intermediate; preparation of 4,7-disubstituted indoles from aminobenzoates and sulfides)

RN 503816-69-1 CAPLUS

CN 1H-Indole-4-carboxylic acid, 7-chloro-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:520167 CAPLUS

DOCUMENT NUMBER: 139:214283

TITLE: Bartoli Indole Synthesis on Solid Supports

AUTHOR(S): Knepper, Kerstin; Braese, Stefan

CORPORATE SOURCE: Kekule-Institut fuer Organische Chemie and Biochemie, Rheinische Friedrich-Wilhelms-Universitaet Bonn, Bonn, D-53121, Germany

SOURCE: Organic Letters (2003), 5(16), 2829-2832

CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:214283

AB Bartoli indole synthesis was performed on solid supports. Starting from Merrifield resin, immobilization of five nitrobenzoic acids was performed. Addition of four different alkenyl Grignard reagents and basic cleavage leads to substituted Me indolecarboxylates in excellent purities. Features of this reaction are the stability of halide groups, ester moieties, and tolerance of o,o'-unsubstituted nitro resins. Heck and Sonogashira reactions are also possible with immobilized indoles.

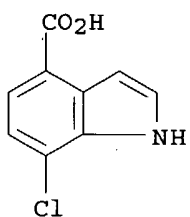
IT 588688-45-3DP, polymer-supported 588688-46-4DP, polymer-supported 588688-47-5DP, polymer-supported 588688-48-6DP, polymer-supported 588688-52-2DP, polymer-supported 588688-53-3DP, polymer-supported 588688-54-4DP, polymer-supported 588688-55-5DP, polymer-supported

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(Bartoli indole synthesis on solid supports)

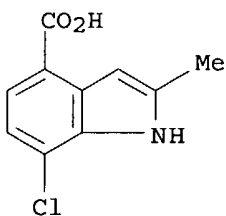
RN 588688-45-3 CAPLUS

CN 1H-Indole-4-carboxylic acid, 7-chloro- (9CI) (CA INDEX NAME)



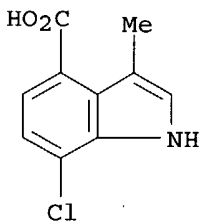
RN 588688-46-4 CAPLUS

CN 1H-Indole-4-carboxylic acid, 7-chloro-2-methyl- (9CI) (CA INDEX NAME)



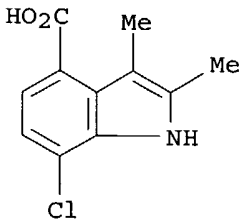
RN 588688-47-5 CAPLUS

CN 1H-Indole-4-carboxylic acid, 7-chloro-3-methyl- (9CI) (CA INDEX NAME)



RN 588688-48-6 CAPLUS

CN 1H-Indole-4-carboxylic acid, 7-chloro-2,3-dimethyl- (9CI) (CA INDEX NAME)

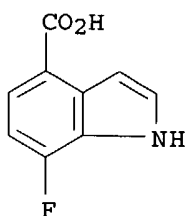


RN 588688-52-2 CAPLUS

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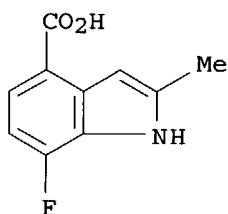


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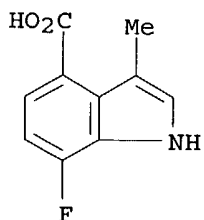
RN 588688-53-3 CAPLUS

CN 1H-Indole-4-carboxylic acid, 7-fluoro-2-methyl- (9CI) (CA INDEX NAME)



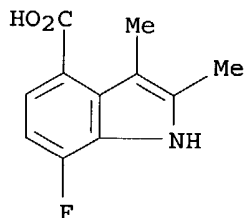
RN 588688-54-4 CAPLUS

CN 1H-Indole-4-carboxylic acid, 7-fluoro-3-methyl- (9CI) (CA INDEX NAME)



RN 588688-55-5 CAPLUS

CN 1H-Indole-4-carboxylic acid, 7-fluoro-2,3-dimethyl- (9CI) (CA INDEX NAME)



IT 503816-69-1P 588688-34-0P 588688-35-1P

588688-36-2P 588688-40-8P 588688-41-9P

588688-42-0P 588688-43-1P

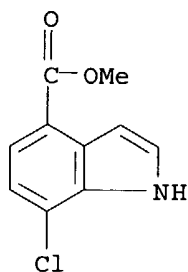
RL: SPN (Synthetic preparation); PREP (Preparation)

(Bartoli indole synthesis on solid supports)

RN 503816-69-1 CAPLUS

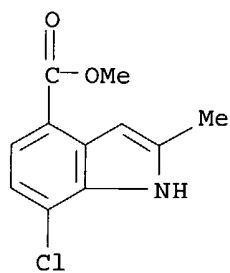
CN 1H-Indole-4-carboxylic acid, 7-chloro-, methyl ester (9CI) (CA INDEX NAME)

NAME)



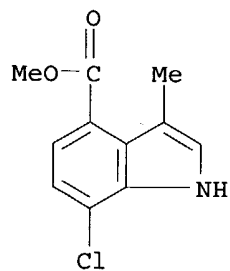
RN 588688-34-0 CAPLUS

CN 1H-Indole-4-carboxylic acid, 7-chloro-2-methyl-, methyl ester (9CI) (CA INDEX NAME)



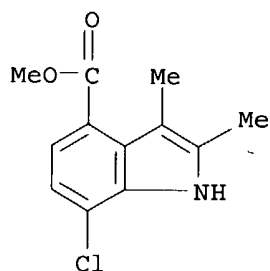
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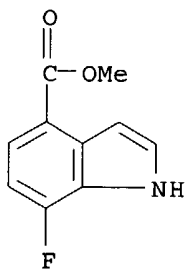
RN 588688-36-2 CAPLUS

CN 1H-Indole-4-carboxylic acid, 7-chloro-2,3-dimethyl-, methyl ester (9CI) (CA INDEX NAME)



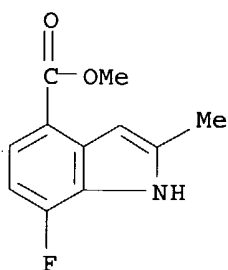
RN 588688-40-8 CAPLUS

CN 1H-Indole-4-carboxylic acid, 7-fluoro-, methyl ester (9CI) (CA INDEX NAME)



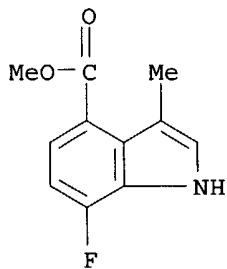
RN 588688-41-9 CAPLUS

CN 1H-Indole-4-carboxylic acid, 7-fluoro-2-methyl-, methyl ester (9CI) (CA INDEX NAME)

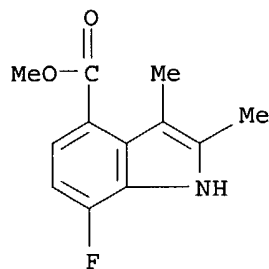


RN 588688-42-0 CAPLUS

CN 1H-Indole-4-carboxylic acid, 7-fluoro-3-methyl-, methyl ester (9CI) (CA INDEX NAME)

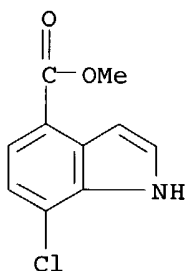


RN 588688-43-1 CAPLUS  
 CN 1H-Indole-4-carboxylic acid, 7-fluoro-2,3-dimethyl-, methyl ester (9CI)  
 (CA INDEX NAME)



REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2003:98290 CAPLUS  
 DOCUMENT NUMBER: 138:287484  
 TITLE: Practical Synthesis and Elaboration of Methyl  
 7-Chloroindole-4-carboxylate  
 AUTHOR(S): Alper, Phil B.; Nguyen, KhanhLinh T.  
 CORPORATE SOURCE: The Genomics Institute, Novartis Foundation, San  
 Diego, CA, 92121-1125, USA  
 SOURCE: Journal of Organic Chemistry (2003), 68(5), 2051-2053  
 CODEN: JOCEAH; ISSN: 0022-3263  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 138:287484  
 AB A synthesis of Me 7-chloroindole-4-carboxylate, a previously unknown indole derivative, is presented. The route reported herein allows for the preparation of multihundred gram quantities of material without any chromatog. purification Conditions are presented for the Pd-catalyzed elaboration of one of the diversity generating elements of this important pharmacophore.  
 IT 503816-69-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and substitution reaction of Me 7-chloroindole-4-carboxylate)  
 RN 503816-69-1 CAPLUS  
 CN 1H-Indole-4-carboxylic acid, 7-chloro-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:861062 CAPLUS

DOCUMENT NUMBER: 139:197300

TITLE: Product class 13: indole and its derivatives

AUTHOR(S): Joule, J. A.

CORPORATE SOURCE: Department of Chemistry, University of Manchester, Manchester, M13 9PL, UK

SOURCE: Science of Synthesis (2001), 10, 361-652

CODEN: SSCYJ9

PUBLISHER: Georg Thieme Verlag

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review of preparation of indoles and its derivs. Covered reactions include cyclization, ring transformation, aromatization and substituent modifications. Subclasses covered include 1H-indol-1-ols, 1,3-dihydro-2H-indol-2-ones, and 1,2-dihydro-3H-indol-3-ones.

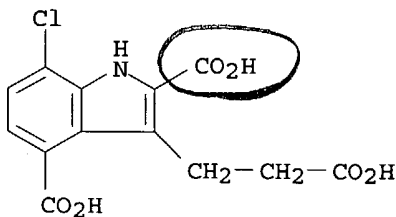
IT 36800-67-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(review of preparation of indoles and analogs thereof via cyclization, ring transformation, aromatization and substituent modifications)

RN 36800-67-6 CAPLUS

CN 1H-Indole-2,4-dicarboxylic acid, 3-(2-carboxyethyl)-7-chloro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1348 THERE ARE 1348 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:805722 CAPLUS

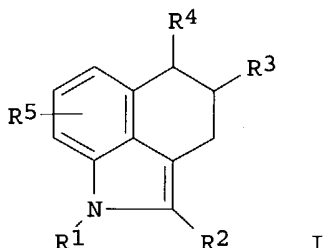
DOCUMENT NUMBER: 128:34682

TITLE: Preparation of indole derivatives as cell protective agents

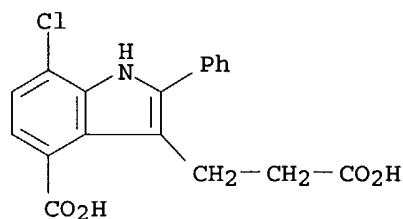
08/24/2004

INVENTOR(S): Yamamoto, Ichiro; Itoh, Manabu; Shimojo, Masato;  
Yumiya, Yasunobu; Mukaihira, Takafumi; Akada,  
Yasushige  
PATENT ASSIGNEE(S): Mochida Pharmaceutical Co., Ltd., Japan  
SOURCE: PCT Int. Appl., 219 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9745410	A1	19971204	WO 1997-JP1828	19970529
W: CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
TW 430660	B	20010421	TW 1997-86107186	19970527
CA 2228268	AA	19971204	CA 1997-2228268	19970529
EP 858996	A1	19980819	EP 1997-924254	19970529
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6040331	A	20000321	US 1998-11260	19980130
PRIORITY APPLN. INFO.:			JP 1996-158985	A 19960530
			JP 1996-332764	A 19961128
			WO 1997-JP1828	W 19970529
OTHER SOURCE(S):			MARPAT 128:34682	
GI				



- AB The title compds. (I; R1 = H, CO<sub>2</sub>H, alkoxy carbonyl, etc.; R2 = halo, C1-4 alkyl or alkoxy, etc.; R3, R4 = H, NR<sub>6</sub>R<sub>7</sub>; R5 = H, halo, C1-4 alkyl, etc.; R6, R7 = H, Ph, CHO, alkyl, etc.) are prepared I are useful as analgetic agents and cell protective agents for prevention and treatment of diseases accompanied by the denaturation, retraction or death of nerve cells. Thus, compound (II; X = :O) (preparation given) was treated with NH<sub>4</sub>OAc and NaBH<sub>3</sub>CN to give the title compound II (X = NH<sub>2</sub>), which at 1.0 µg/mL showed 51% inhibitory activity against death of nerve cells.
- IT **199664-63-6P**  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of indole derivs. as cell protective agents)
- RN 199664-63-6 CAPLUS
- CN 1H-Indole-3-propanoic acid, 4-carboxy-7-chloro-2-phenyl- (9CI) (CA INDEX NAME)

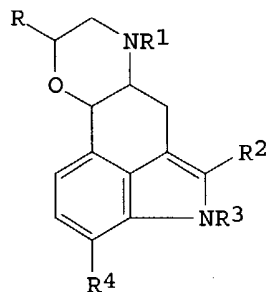


L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

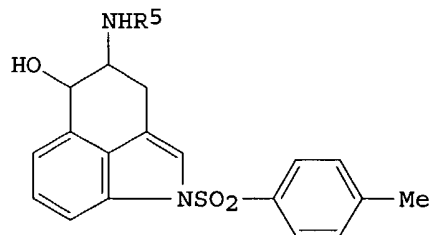
ACCESSION NUMBER: 1981:425087 CAPLUS  
 DOCUMENT NUMBER: 95:25087  
 TITLE: Indolobenzoxazines  
 INVENTOR(S): Jones, James H.  
 PATENT ASSIGNEE(S): Merck and Co., Inc., USA  
 SOURCE: U.S., 9 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4238486	A	19801209	US 1979-96966	19791123
EP 33767	A1	19810819	EP 1980-107206	19801120
EP 33767	B1	19840627		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AT 8144	E	19840715	AT 1980-107206	19801120
DK 8004975	A	19810524	DK 1980-4975	19801121
AU 8064594	A1	19810528	AU 1980-64594	19801121
AU 539028	B2	19840906		
ES 497064	A1	19820401	ES 1980-497064	19801121
ZA 8007295	A	19820630	ZA 1980-7295	19801121
JP 56087583	A2	19810716	JP 1980-164768	19801125
JP 02027358	B4	19900615		
PRIORITY APPLN. INFO.:			US 1979-96966	19791123
			EP 1980-107206	19801120

GI



I



II

AB The indolobenzoxazines I (R = H, alkyl, aryl; R1 = H, alkyl, aralkyl, cycloalkyl, alkenyl; R2 = H, halo, alkyl; R3 = H, alkyl, aralkyl; R4 = H,

08/24/2004

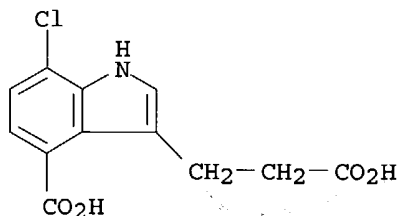
halo, alkyl, hydroxy, alkoxy) were prepared. Thus, the benzindole II (R5 = H) was treated with ClCH<sub>2</sub>COCl to give II (R5 = ClCH<sub>2</sub>CO), which was cyclized followed by LiAlH<sub>4</sub> reduction to give I (R-R4 = H). At 50-500 mg/kg I were antihypertensive, and at 20-100 mg/kg had antiparkinson and prolactin-inhibiting activity.

IT 36800-76-7

RL: RCT (Reactant); RACT (Reactant or reagent)  
(cyclization of)

RN 36800-76-7 CAPLUS

CN 1H-Indole-3-propanoic acid, 4-chloro-7-chloro- (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1980:586626 CAPLUS

DOCUMENT NUMBER: 93:186626

TITLE: Preparative methods for ergoline synthons: Uhle's ketone and the C-homo analog

AUTHOR(S): Ponticello, G. S.; Baldwin, J. J.; Lumma, P. K.; McClure, D. E.

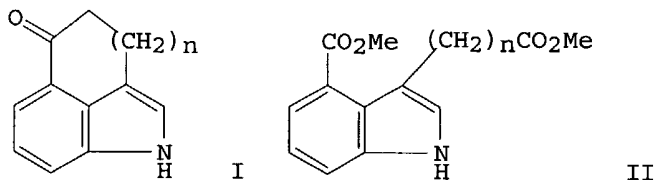
CORPORATE SOURCE: Merck Sharp and Dohme Res. Lab., Dep. Med. Chem., West Point, PA, 19486, USA

SOURCE: Journal of Organic Chemistry (1980), 45(21), 4236-8  
CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Preparative methods are described for the synthesis of the tricyclic indolo ketones I (n = 1, 2); these compds. are useful intermediates for the construction of ergolines and related ring systems. The synthetic strategy involves a Dieckmann cyclization-decarboxylation sequence from the diesters II (n = 2,3).

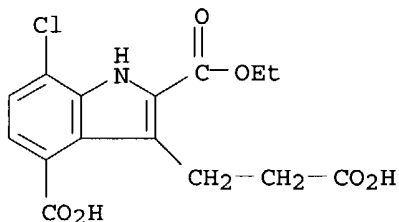
IT 36800-68-7P 74724-99-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and dechlorination of)



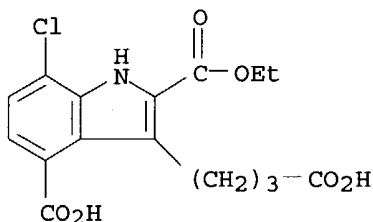
RN 36800-68-7 CAPLUS

CN 1H-Indole-2,4-dicarboxylic acid, 3-(2-carboxyethyl)-7-chloro-, 2-ethyl ester (9CI) (CA INDEX NAME)



RN 74724-99-5 CAPLUS

CN 1H-Indole-2,4-dicarboxylic acid, 3-(3-carboxypropyl)-7-chloro-, 2-ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1972:405270 CAPLUS

DOCUMENT NUMBER: 77:5270

TITLE: 1,3,4,5-Tetrahydrobenz[c,d]indoles and related

compounds. I. New synthesis of 3,4-

dihydrobenz[c,d]indol-5(1H)-one (Uhle's ketone)

AUTHOR(S): Bowman, R. E.; Goodburn, T. G.; Reynolds, A. A.

CORPORATE SOURCE: Res. Dev. Div., Parke Davis and Co., Pontypool, UK

SOURCE: Journal of the Chemical Society, Perkin Transactions

1: Organic and Bio-Organic Chemistry (1972-1999)

(1972), (9-10), 1121-3

CODEN: JCPRB4; ISSN: 0300-922X

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 77:5270

GI For diagram(s), see printed CA Issue.

AB -Carboxy-2-chlorobenzenediazonium chloride reacted with Et  
2-oxocyclopentanecarboxylate followed by hydrolysis to give 1-Et H  
2-oxohexanedioate (5-carboxy-2-chlorophenyl)hydrazone (I). Treatment of I  
with BF<sub>3</sub>.AcOH in AcOH at 90° gave 81% 4-carboxy-7-chloro-2-  
(ethoxycarbonyl)indole-3-propionic acid, which was converted in 67%  
overall yield to 4-carboxyindole-3-propionic acid (II) by sequential  
hydrolysis, hydrogenolysis, and thermal decarboxylation. II was readily  
converted to Uhle's ketone (III) by standard methods.

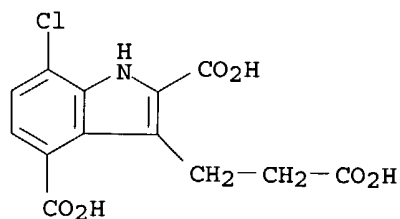
IT 36800-67-6P 36800-68-7P 36800-76-7P

36800-77-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

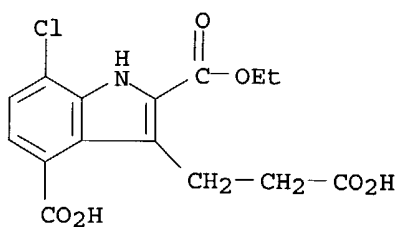
RN 36800-67-6 CAPLUS

CN 1H-Indole-2,4-dicarboxylic acid, 3-(2-carboxyethyl)-7-chloro- (9CI) (CA INDEX NAME)



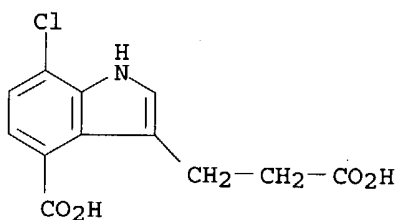
RN 36800-68-7 CAPLUS

CN 1H-Indole-2,4-dicarboxylic acid, 3-(2-carboxyethyl)-7-chloro-, 2-ethyl ester (9CI) (CA INDEX NAME)



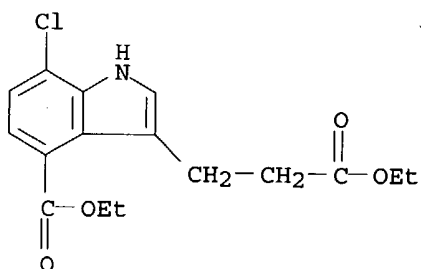
RN 36800-76-7 CAPLUS

CN 1H-Indole-3-propanoic acid, 4-carboxy-7-chloro- (9CI) (CA INDEX NAME)



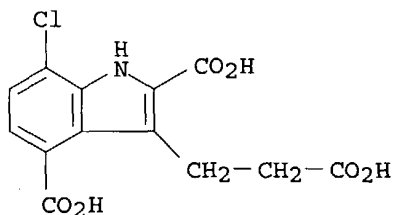
RN 36800-77-8 CAPLUS

CN 1H-Indole-3-propanoic acid, 7-chloro-4-(ethoxycarbonyl)-, ethyl ester (9CI) (CA INDEX NAME)



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L5 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2002:861062 CAPLUS  
DOCUMENT NUMBER: 139:197300  
TITLE: Product class 13: indole and its derivatives  
AUTHOR(S): Joule, J. A.  
CORPORATE SOURCE: Department of Chemistry, University of Manchester,  
Manchester, M13 9PL, UK  
SOURCE: Science of Synthesis (2001), 10, 361-652  
CODEN: SSCYJ9  
PUBLISHER: Georg Thieme Verlag  
DOCUMENT TYPE: Journal; General Review  
LANGUAGE: English  
AB A review of preparation of indoles and its derivs. Covered reactions include  
cyclization, ring transformation, aromatization and substituent  
modifications. Subclasses covered include 1H-indol-1-ols,  
1,3-dihydro-2H-indol-2-ones, and 1,2-dihydro-3H-indol-3-ones.  
IT 36800-67-6P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(review of preparation of indoles and analogs thereof via cyclization, ring  
transformation, aromatization and substituent modifications)  
RN 36800-67-6 CAPLUS  
CN 1H-Indole-2,4-dicarboxylic acid, 3-(2-carboxyethyl)-7-chloro- (9CI) (CA  
INDEX NAME)



REFERENCE COUNT: 1348 THERE ARE 1348 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

L5 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1997:805722 CAPLUS  
DOCUMENT NUMBER: 128:34682  
TITLE: Preparation of indole derivatives as cell protective  
agents  
INVENTOR(S): Yamamoto, Ichiro; Itoh, Manabu; Shimojo, Masato;  
Yumiya, Yasunobu; Mukaihira, Takafumi; Akada,  
Yasushige  
PATENT ASSIGNEE(S): Mochida Pharmaceutical Co., Ltd., Japan  
SOURCE: PCT Int. Appl., 219 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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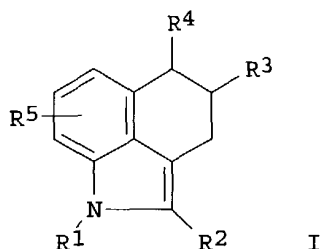
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RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
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CA 2228268      AA      19971204      CA 1997-2228268      19970529 <--
EP 858996      A1      19980819      EP 1997-924254      19970529 <--
R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
    IE, FI
US 6040331      A      20000321      US 1998-11260      19980130 <--
PRIORITY APPLN. INFO.:      JP 1996-158985      A      19960530
                                JP 1996-332764      A      19961128
                                WO 1997-JP1828      W      19970529

OTHER SOURCE(S):      MARPAT 128:34682
GI

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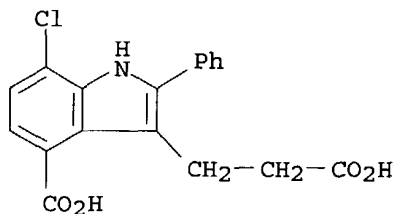
AB The title compds. (I; R1 = H, CO<sub>2</sub>H, alkoxy carbonyl, etc.; R2 = halo, C1-4 alkyl or alkoxy, etc.; R3, R4 = H, NR<sub>6</sub>R<sub>7</sub>; R5 = H, halo, C1-4 alkyl, etc.; R6, R7 = H, Ph, CHO, alkyl, etc.) are prepared I are useful as analgetic agents and cell protective agents for prevention and treatment of diseases accompanied by the denaturation, retraction or death of nerve cells. Thus, compound (II; X = :O) (preparation given) was treated with NH<sub>4</sub>OAc and NaBH<sub>3</sub>CN to give the title compound II (X = NH<sub>2</sub>), which at 1.0 µg/mL showed 51% inhibitory activity against death of nerve cells.

IT **199664-63-6P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of indole derivs. as cell protective agents)

RN 199664-63-6 CAPLUS

CN 1H-Indole-3-propanoic acid, 4-chloro-7-phenyl- (9CI) (CA INDEX NAME)



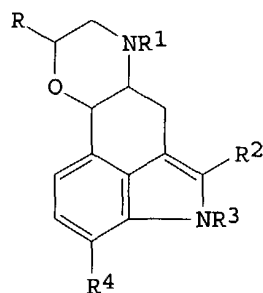
L5 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1981:425087 CAPLUS  
DOCUMENT NUMBER: 95:25087

08/24/2004

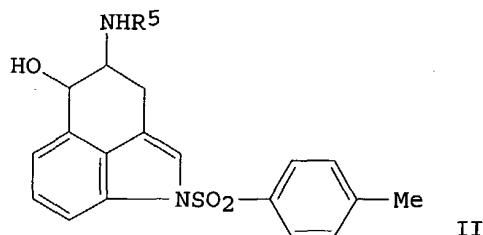
TITLE: Indolobenzoxazines  
 INVENTOR(S): Jones, James H.  
 PATENT ASSIGNEE(S): Merck and Co., Inc., USA  
 SOURCE: U.S., 9 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4238486	A	19801209	US 1979-96966	19791123 <--
EP 33767	A1	19810819	EP 1980-107206	19801120 <--
EP 33767	B1	19840627		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AT 8144	E	19840715	AT 1980-107206	19801120 <--
DK 8004975	A	19810524	DK 1980-4975	19801121 <--
AU 8064594	A1	19810528	AU 1980-64594	19801121 <--
AU 539028	B2	19840906		
ES 497064	A1	19820401	ES 1980-497064	19801121 <--
ZA 8007295	A	19820630	ZA 1980-7295	19801121 <--
JP 56087583	A2	19810716	JP 1980-164768	19801125 <--
JP 02027358	B4	19900615		
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			EP 1980-107206	19801120

GI



I



II

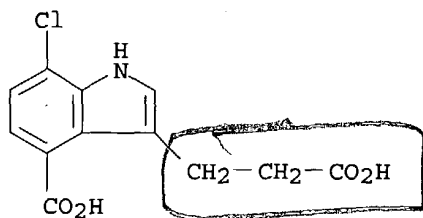
AB The indolobenzoxazines I (R = H, alkyl, aryl; R1 = H, alkyl, aralkyl, cycloalkyl, alkenyl; R2 = H, halo, alkyl; R3 = H, alkyl, aralkyl; R4 = H, halo, alkyl, hydroxy, alkoxy) were prepared. Thus, the benzindole II (R5 = H) was treated with ClCH2COCl to give II (R5 = ClCH2CO), which was cyclized followed by LiAlH4 reduction to give I (R-R4 = H). At 50-500 mg/kg I were antihypertensive, and at 20-100 mg/kg had antiparkinson and prolactin-inhibiting activity.

IT 36800-76-7

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (cyclization of)

RN 36800-76-7 CAPLUS

CN 1H-Indole-3-propanoic acid, 4-carboxy-7-chloro- (9CI) (CA INDEX NAME)



L5 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1980:586626 CAPLUS

DOCUMENT NUMBER: 93:186626

TITLE: Preparative methods for ergoline synthons: Uhle's ketone and the C-homo analog

AUTHOR(S): Ponticello, G. S.; Baldwin, J. J.; Lumma, P. K.; McClure, D. E.

CORPORATE SOURCE: Merck Sharp and Dohme Res. Lab., Dep. Med. Chem., West Point, PA, 19486, USA

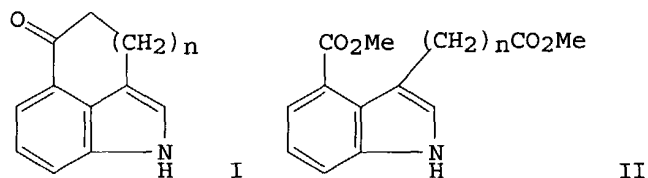
SOURCE: Journal of Organic Chemistry (1980), 45(21), 4236-8

CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



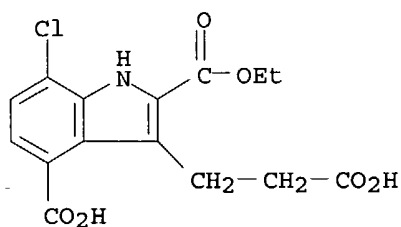
AB Preparative methods are described for the synthesis of the tricyclic indole ketones I ( $n = 1, 2$ ); these compds. are useful intermediates for the construction of ergolines and related ring systems. The synthetic strategy involves a Dieckmann cyclization-decarboxylation sequence from the diesters II ( $n = 2, 3$ ).

IT 36800-68-7P 74724-99-5P

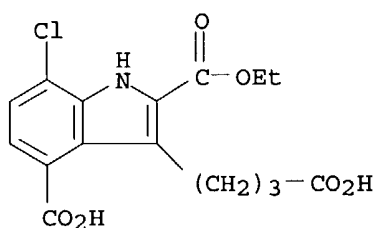
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(preparation and dechlorination of)

RN 36800-68-7 CAPLUS

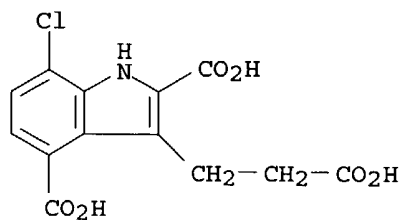
CN 1H-Indole-2,4-dicarboxylic acid, 3-(2-carboxyethyl)-7-chloro-, 2-ethyl ester (9CI) (CA INDEX NAME)



RN 74724-99-5 CAPLUS  
 CN 1H-Indole-2,4-dicarboxylic acid, 3-(3-carboxypropyl)-7-chloro-, 2-ethyl ester (9CI) (CA INDEX NAME)

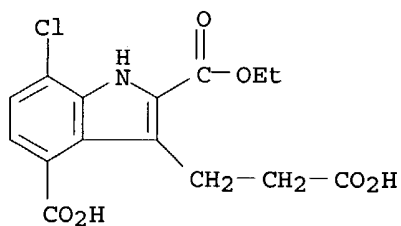


L5 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1972:405270 CAPLUS  
 DOCUMENT NUMBER: 77:5270  
 TITLE: 1,3,4,5-Tetrahydrobenz[c,d]indoles and related compounds. I. New synthesis of 3,4-dihydrobenz[c,d]indol-5(1H)-one (Uhle's ketone)  
 AUTHOR(S): Bowman, R. E.; Goodburn, T. G.; Reynolds, A. A.  
 CORPORATE SOURCE: Res. Dev. Div., Parke Davis and Co., Pontypool, UK  
 SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1972), (9-10), 1121-3  
 CODEN: JCPRB4; ISSN: 0300-922X  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 77:5270  
 GI For diagram(s), see printed CA Issue.  
 AB -Carboxy-2-chlorobenzenediazonium chloride reacted with Et 2-oxocyclopentanecarboxylate followed by hydrolysis to give 1-Et H 2-oxohexanedioate (5-carboxy-2-chlorophenyl)hydrazone (I). Treatment of I with BF<sub>3</sub>.AcOH in AcOH at 90° gave 81% 4-carboxy-7-chloro-2-(ethoxycarbonyl)indole-3-propionic acid, which was converted in 67% overall yield to 4-carboxyindole-3-propionic acid (II) by sequential hydrolysis, hydrogenolysis, and thermal decarboxylation. II was readily converted to Uhle's ketone (III) by standard methods.  
 IT 36800-67-6P 36800-68-7P 36800-76-7P  
 36800-77-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)  
 RN 36800-67-6 CAPLUS  
 CN 1H-Indole-2,4-dicarboxylic acid, 3-(2-carboxyethyl)-7-chloro- (9CI) (CA INDEX NAME)



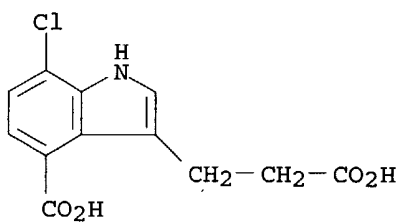
RN 36800-68-7 CAPLUS

CN 1H-Indole-2,4-dicarboxylic acid, 3-(2-carboxyethyl)-7-chloro-, 2-ethyl ester (9CI) (CA INDEX NAME)



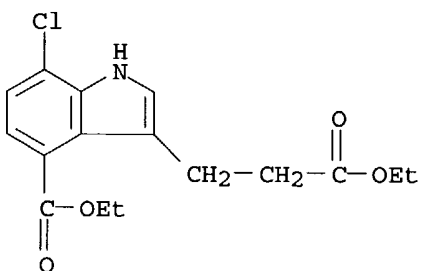
RN 36800-76-7 CAPLUS

CN 1H-Indole-3-propanoic acid, 4-carboxy-7-chloro- (9CI) (CA INDEX NAME)



RN 36800-77-8 CAPLUS

CN 1H-Indole-3-propanoic acid, 7-chloro-4-(ethoxycarbonyl)-, ethyl ester (9CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS

SINCE FILE

TOTAL



10608949.trn

08/24/2004

FULL ESTIMATED COST	ENTRY 69.78	SESSION 225.83
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
CA SUBSCRIBER PRICE	ENTRY -9.80	SESSION -9.80

STN INTERNATIONAL LOGOFF AT 12:06:28 ON 24 AUG 2004